

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1	"6949513".pn.	US-PGPUB; USPAT	OR	ON	2007/06/02 15:07
S1	3	"551522".ap.	US-PGPUB; USPAT	OR	ON	2007/06/02 15:06
S2	326	548/257.ccls.	US-PGPUB; USPAT	OR	ON	2007/05/02 09:49
S3	207	548/111.ccls.	US-PGPUB; USPAT	OR	ON	2007/05/02 09:49
S4	181	546/20.ccls.	US-PGPUB; USPAT	OR	ON	2007/05/02 09:50
S5	805	530/333.ccls.	US-PGPUB; USPAT	OR	ON	2007/05/02 09:50
S6	1	S2 and S3	US-PGPUB; USPAT	OR	ON	2007/05/02 09:50
S7	1	S3 and S4	US-PGPUB; USPAT	OR	ON	2007/05/02 09:50
S8	0	S2 and S4	US-PGPUB; USPAT	OR	ON	2007/05/02 09:51
S9	1	S3 and S5	US-PGPUB; USPAT	OR	ON	2007/05/02 09:51

10/551,522C Yong Chu 06-02-2007

\$%^STN;HighlightOn=;HighlightOff=;

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	3	JAN 16	CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS	4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	6	JAN 22	CA/CAPLUS updated with revised CAS roles
NEWS	7	JAN 22	CA/CAPLUS enhanced with patent applications from India
NEWS	8	JAN 29	PHAR reloaded with new search and display fields
NEWS	9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS	11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS	12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS	13	FEB 26	MEDLINE reloaded with enhancements
NEWS	14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS	15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS	16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS	17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS	18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS	19	MAR 16	CASREACT coverage extended
NEWS	20	MAR 20	MARPAT now updated daily
NEWS	21	MAR 22	LWPI reloaded
NEWS	22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS	23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS	24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS	25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS	26	APR 30	CA/CAPLUS enhanced with 1870-1889 U.S. patent records
NEWS	27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS	28	MAY 01	New CAS web site launched
NEWS	29	MAY 08	CA/CAPLUS Indian patent publication number format defined
NEWS	30	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS	31	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS	32	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS	33	MAY 21	CA/CAPLUS enhanced with additional kind codes for German patents
NEWS	34	MAY 22	CA/CAPLUS enhanced with IPC reclassification in Japanese

patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:41:11 ON 02 JUN 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:41:28 ON 02 JUN 2007

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STRUCTURE FILE UPDATES: 1 JUN 2007 HIGHEST RN 936446-72-9
DICTIONARY FILE UPDATES: 1 JUN 2007 HIGHEST RN 936446-72-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

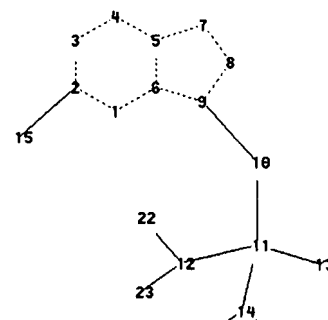
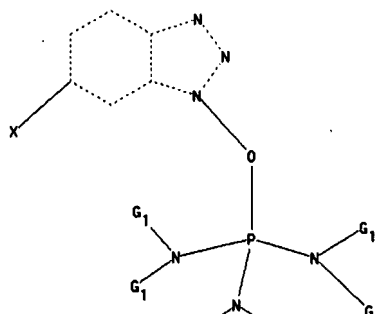
Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10551522\10551522C.str



chain nodes :

10 11 12 13 14 15 17 18 20 21 22 23

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

2-15 9-10 10-11 11-12 11-13 11-14 12-22 12-23 13-17 13-18 14-20 14-21

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 9-10 10-11 11-12 11-13 11-14
12-22 12-23 13-17 13-18 14-20 14-21

exact bonds :

2-15

G1:C,H

Match level :

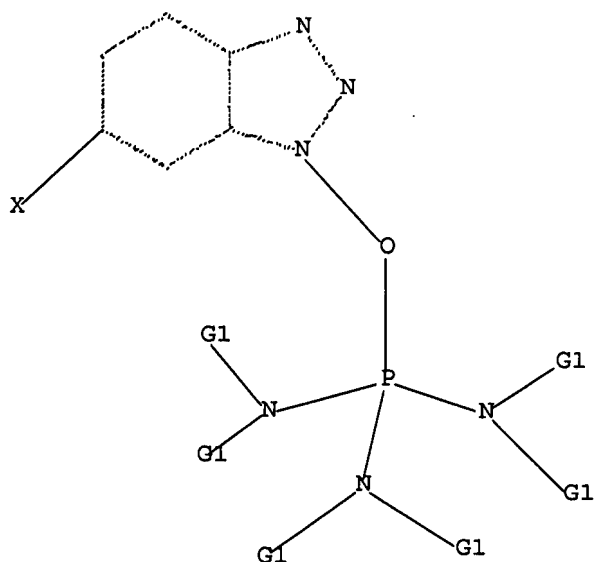
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 20:CLASS
21:CLASS 22:CLASS
23:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:41:51 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 2 TO 124
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:41:59 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS 2 ANSWERS
 SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 14:42:04 ON 02 JUN 2007
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FILE COVERS 1907 - 2 Jun 2007 VOL 146 ISS 24
FILE LAST UPDATED: 1 Jun 2007 (20070601/ED)

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=> s l3

L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:605254 CAPLUS Full-text

DOCUMENT NUMBER: 145:77623

TITLE: Polymerase-independent analysis of the sequence of polynucleotides by primer extension using novel activated nucleotides

INVENTOR(S): Rojas Stuetz, Jan Andre; Kervio, Eric; Richert, Clemens; Hagenbuch, Patrizia; Hochgesand, Annette; Griesang, Niels; Vogel, Stephanie; Plutowski, Ulrich

PATENT ASSIGNEE(S): Universitaet Karlsruhe, Germany

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006063717	A2	20060622	WO 2005-EP13062	20051206
WO 2006063717	A3	20061130		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2004-29858 A 20041216

OTHER SOURCE(S): MARPAT 145:77623

AB The present invention concerns methods of polymerase-independent template directed elongation of polynucleotides. Novel activated nucleotides are identified, which can be employed in a template-directed extension of oligonucleotide with a free amino group at its 2', 3', or 5'-terminus without enzymic catalysis. Certain activated phosphor esters are particularly suitable because they facilitate a rapid completion of the coupling reaction. The rate of the reaction can be further enhanced (.gtoreq.4-fold) if an addnl. polynucleotide termed "polynucleotide helper" is annealed to the polynucleotide template, and the effect of the polynucleotide helper can be even more enhanced if it comprises a stacking residue comprising a substituted or unsubstituted homo or heteroaryl ring system with a size similar to a G-C or A-T base pair. These nucleotides and extension processes using them avoid several of the limitations of enzymic processes of the prior art. For example, they do not require nucleotide triphosphates as building blocks and it is possible to use nucleotide derivates which would not be accepted by the active site of a polymerase. Consequently, the novel nucleotides allow a much higher flexibility in the choice of the nucleotide or nucleotide deriv. employed. A further advantage of the use of the nucleotides of the present invention is that polynucleotides resulting from enzyme free extension reactions can be analyzed with less prepn. of the extension product and are, thus, more amenable to rapid direct anal. by, for example, mass spectrometry without purifn. steps. The template-directed reactions occur with high fidelity. The nucleotide building blocks used in these methods as well as the use of the methods and building blocks are useful for the detn. of nucleotide sequences, and in particular for the detn. of SNPs, base modifications, mutations, rearrangements, and methylation patterns.

IT 894073-34-8, COP

RL: RGT (Reagent); RACT (Reactant or reagent)

(COP, activating reagent; polymerase-independent anal. of the sequence of polynucleotides by primer extension using novel activated nucleotides)

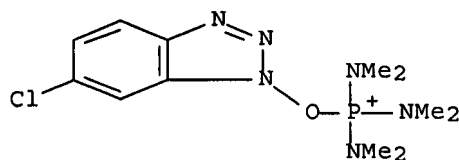
RN 894073-34-8 CAPLUS

CN Phosphorus(1+), [6-chloro-1-(hydroxy-.kappa.O)-1H-benzotriazolato]tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 894073-33-7

CMF C12 H21 Cl N6 O P

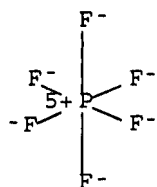


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



=> file reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

FULL ESTIMATED COST

7.15	179.46
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

CA SUBSCRIBER PRICE

-0.78	-0.78
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FILE 'REGISTRY' ENTERED AT 14:44:30 ON 02 JUN 2007

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DICTIONARY FILE UPDATES: 1 JUN 2007 HIGHEST RN 936446-72-9

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

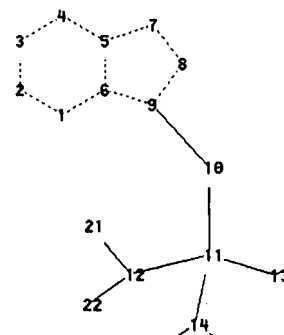
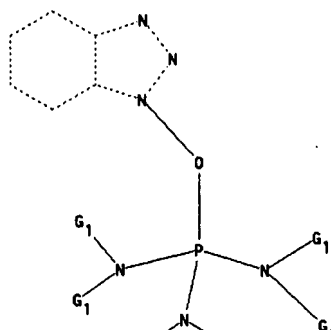
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10551522\10551522D.str



chain nodes :

10 11 12 13 14 16 17 19 20 21 22

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

9-10 10-11 11-12 11-13 11-14 12-21 12-22 13-16 13-17 14-19 14-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 9-10 10-11 11-12 11-13 11-14
12-21 12-22 13-16 13-17 14-19 14-20

G1:C,H

Match level :

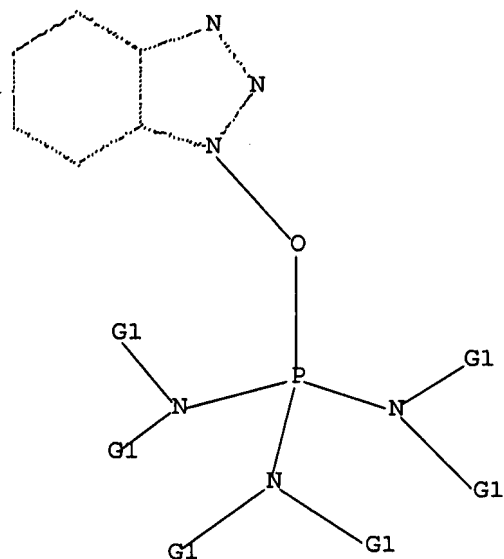
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS
21:CLASS 22:CLASS

L5 STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 14:44:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 14:44:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

L7 13 SEA SSS FUL L5

=> fgile caplus

FGILE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

FULL ESTIMATED COST	172.10	351.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-0.78

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FILE COVERS 1907 - 2 Jun 2007 VOL 146 ISS 24
 FILE LAST UPDATED: 1 Jun 2007 (20070601/ED)

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<http://www.cas.org/infopolicy.html>

=> s 17

L8 358 L7

=> s 18 and coupling agent

459331 COUPLING

31152 COUPLINGS

474248 COUPLING

(COUPLING OR COUPLINGS)

845634 AGENT

1233886 AGENTS

1732097 AGENT

(AGENT OR AGENTS)

32422 COUPLING AGENT

(COUPLING(W) AGENT)

L9 43 L8 AND COUPLING AGENT

=> s 19 and peptide synthesis

371430 PEPTIDE

271943 PEPTIDES

475650 PEPTIDE

(PEPTIDE OR PEPTIDES)

1317898 SYNTHESIS

3 SYNTHESISES

69664 SYNTHESSES

1356894 SYNTHESIS

(SYNTHESIS OR SYNTHESISES OR SYNTHESSES)

11434 PEPTIDE SYNTHESIS

(PEPTIDE(W) SYNTHESIS)

L10 14 L9 AND PEPTIDE SYNTHESIS

=> d ibib abs hitstr tot

END

=>

Executing the logoff script...

=> LOG H

=>

COMMAND TERMINATED (SYSTEM ERROR)

REENTER FILE 'CAPLUS'

AND TRY AGAIN, OR ENTER '?' FOR MORE INFORMATION.

SESSION WILL BE HELD FOR 120 MINUTES

COST DISPLAY IS INCOMPLETE

COMMAND TERMINATED (SYSTEM ERROR)

REENTER FILE 'CAPLUS'

AND TRY AGAIN, OR ENTER '?' FOR MORE INFORMATION.

T2/8/0/H/UD/02JUN2007/144829/28258925/SSPTAYLC/1626/HOME/(NONE)/0.01/0.21

T2/8/0/H/UD/02JUN2007/144829/28258925/SSPTAYLC/1626/REGISTRY/(NONE)/0.02/344.20

T2/8/0/H/UD/02JUN2007/144829/28258925/SSPTAYLC/1626/CAPLUS/(NONE)/0.04/7.15

STN INTERNATIONAL SESSION SUSPENDED AT 14:48:29 ON 02 JUN 2007

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *

SESSION RESUMED IN FILE 'CAPLUS' AT 14:49:02 ON 02 JUN 2007

FILE 'CAPLUS' ENTERED AT 14:49:02 ON 02 JUN 2007

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COMMAND TERMINATED (SYSTEM ERROR)

REENTER FILE 'CAPLUS'

AND TRY AGAIN, OR ENTER '?' FOR MORE INFORMATION.

=> 726u433

726U433 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> file caplus

COST DISPLAY IS INCOMPLETE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

11.33

362.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-0.78

FILE 'CAPLUS' ENTERED AT 14:49:43 ON 02 JUN 2007

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FILE LAST UPDATED: 1 Jun 2007 (20070601/ED)

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=> d ibib abs hitstr tot

L10 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:636087 CAPLUS Full-text
DOCUMENT NUMBER: 135:190403
TITLE: Synthesis of bombesin peptide analogs and their uses
in treatment of cancer
INVENTOR(S): Burman, Anand C.; Prasad, Sudhanan; Mukherjee, Rama;
Jaggi, Manu; Singh, Anu T.; Mathur, Archana
PATENT ASSIGNEE(S): Dabur Research Foundation, India
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
WO 2001062777	A1	20010830	WO 2000-US20873	20000731
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
IN 2000DE00147	A	20050311	IN 2000-DE147	20000224
CA 2405704	A1	20010830	CA 2000-2405704	20000731
AU 200065053	A	20010903	AU 2000-65053	20000731
EP 1261626	A1	20021204	EP 2000-952333	20000731
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
US 2003105009	A1	20030605	US 2002-186226	20020628
US 6949513	B2	20050927		
PRIORITY APPLN. INFO.:			IN 2000-DE147	A 20000224

OTHER SOURCE(S): MARPAT 135:190403

AB The invention discloses sequences of novel peptides that are antagonists to bombesin and bombesin like peptides and their uses in the treatment of cancer. The invention particularly relates to the design and synthesis of the novel peptides incorporating .alpha.,.alpha.-amino acids in a site specific manner. The invention also provides methods for the generation of these peptides, compns. contg. the peptides and the pharmacol. applications of these peptides esp. in the treatment and prevention of cancer.

IT 56602-33-6, Bop
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(as coupling agent; synthesis of bombesin peptide
analogs and their uses in treatment of cancer)

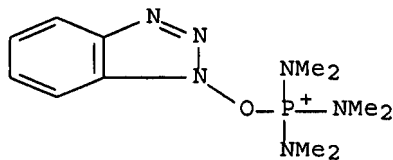
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

CMF C12 H22 N6 O P

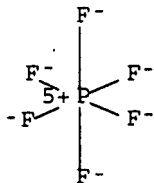


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:266617 CAPLUS Full-text

DOCUMENT NUMBER: 135:33640

TITLE: Cu(OBt)2 and Cu(OAt)2, copper(II)-based racemization

suppressors ready for use in fully automated solid-phase peptide synthesis

AUTHOR(S): Van Den Nest, Wim; Yuval, Shov; Albericio, Fernando

CORPORATE SOURCE: Department of Organic Chemistry, University of Barcelona, Barcelona, E-08028, Spain

SOURCE: Journal of Peptide Science (2001), 7(3), 115-120
CODEN: JPSIEI; ISSN: 1075-2617

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:33640

AB The complexes Cu(OBt)₂ and Cu(OAt)₂, which are derived from copper(II) and HOBt and HOAt, resp., are shown to be more effective in suppressing racemization during solid-phase peptide synthesis (SPPS) than the coupling reagents that are currently being used for this purpose. Cu(OBt)₂ and Cu(OAt)₂ can readily be used in conjunction with the commonly applied coupling reagents in fully automated systems for solid-phase peptide chem.

IT 56602-33-6, BOP
RL: RCT (Reactant); RACT (Reactant or reagent)
(using Cu(OBt)₂ and Cu(OAt)₂ with various coupling reagents to suppress racemization during peptide coupling in solid-phase synthesis)

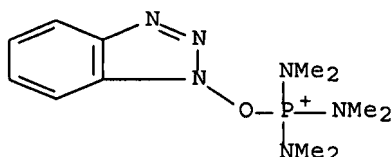
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

CMF C12 H22 N6 O P

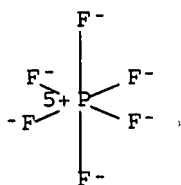


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:776672 CAPLUS Full-text

DOCUMENT NUMBER: 134:56950

TITLE: Application of an organophosphorus reagent DEPBT for
synthesis of cycloheptapeptide

AUTHOR(S): Xie, Hai-Bo; Tian, Gui-Ling; Ye, Yun-Hua

CORPORATE SOURCE: Department of Chemistry, Peking University, Beijing,
100871, Peop. Rep. China

SOURCE: Synthetic Communications (2000), 30(23), 4233-4240

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:56950

AB The organophosphorus reagent, 3-(diethyloxyphosphoryloxy)-1,2,3- benzotriazin-
4(3H)-one (DEPBT) was evaluated as a coupling reagent in the synthesis of
cyclo(Gly-Tyr-Gly-Gly-Pro-Phe-Pro), a naturally-occurring Chinese medicinal
herb. DEPBT was compared with two other organophosphorus reagents, DPPA and
BOP.

IT 56602-33-6, BOP

RL: NUU (Other use, unclassified); USES (Uses)

(prepn. of linear and cyclic peptides using the organophosphorus
coupling reagent DEPBT)

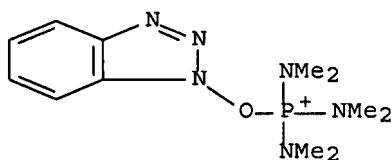
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-
methylethylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX
NAME)

CM 1

CRN 56602-32-5

CMF C12 H22 N6 O P

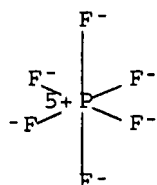


CM 2

CRN 16919-18-9

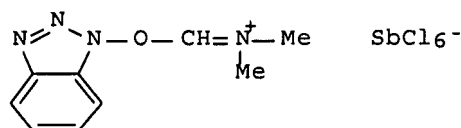
CMF F6 P

CCI CCS

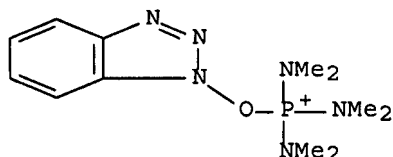


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999:292473 CAPLUS Full-text
 DOCUMENT NUMBER: 131:19295
 TITLE: BOMI - a novel peptide coupling reagent
 AUTHOR(S): Li, Peng; Xu, Jie Cheng
 CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
 SOURCE: Tetrahedron Letters (1999), 40(18), 3605-3608
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB A novel coupling reagent, benzotriazol-1-yloxy-N,N-dimethylmethaniminium hexachloroantimonate (I; BOMI), was synthesized and successfully applied to the synthesis of oligopeptides. Racemization and the influence of several reaction parameters such as solvent, base, as well as temp., were evaluated.
 IT 56602-33-6, BoP
 RL: NUU (Other use, unclassified); USES (Uses)
 (comparison of peptide coupling agent, BOMI, with other coupling agents)
 RN 56602-33-6 CAPLUS
 CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)
 CM 1
 CRN 56602-32-5
 CMF C12 H22 N6 O P

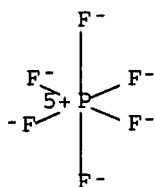


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:388964 CAPLUS Full-text

DOCUMENT NUMBER: 122:265998

TITLE: Multiple synthesis by the multipin method as a methodological tool

AUTHOR(S): Bray, Andrew M.; Valerio, Robert M.; Dipasquale, Angela J.; Greig, Joy; Maeji, N. Joe

CORPORATE SOURCE: Chiron Mimotopes Pty Ltd., Victoria, Australia

SOURCE: Journal of Peptide Science (1995), 1(1), 80-7

CODEN: JPSIEI; ISSN: 1075-2617

PUBLISHER: Wiley

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The multipin method of peptide synthesis is demonstrated as a potent methodol. tool, where large nos. of comparative studies can be performed concurrently. Two studies are presented. In each study, the test peptides were simultaneously synthesized, and the products examd. by high throughput ion spray mass spectrometry and reverse-phase HPLC. In the first study, comprising 24 expts., peptides H-Ala-Glu-Leu-Phe-Ser-Thr-His-Tyr-Leu-Ala-Phe-Lys-Glu-Asp-Tyr-Ser-Gln-NH₂ and H-Leu-Lys-Asp-Phe-Arg-Val-Tyr-Phe-Arg-Glu-Gly-Arg-Asp-Gln-Leu-Trp-Lys-Gly-Pro-Gly-NH₂ were prepd. using 9-fluorenylmethoxycarbonylamino acids (Fmoc-Axx)/BOP/1-hydroxybenzotriazole (HOBT)/N-methylmorpholine (NMM) (100:100:150 mm) and Fmoc-Axx/2-(7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU)/1-hydroxy-7-azabenzotriazole (HOAT)/NMM (100:100:100:150 mm) with 60, 90 and 120 min coupling times. The two reagent combinations were found to give comparable results. The second study compared the N-terminal coupling of Fmoc-Asn-OH, Fmoc-Asn(Mbh)-OH (MbH = 4,4'-dimethoxybenzhydryl), Fmoc-Asn(Mtt)-OH (Mtt = 4-methyltrityl), Fmoc-Asn(Tmob)-OH (Tmob = 2,4,6-trimethoxybenzyl)

and Fmoc-Asn(Trt)-OH (Trt = trityl) in the synthesis of seven test peptides: 3, H-Asn-Val-Gln-Ala-Ala-Ile-Asp-Tyr-Ile-Gly-cyclo(Lys-Pro); 4, H-Asn-Thr-Val-Gln-Ala-Ala-Ile-Asp-Tyr-Ile-Gly-cyclo(Lys-Pro), H-Asn-Arg-Val-Tyr-Val-His-Pro-Phe-Asn-Leu-OH, H-Asn-Arg-Val-Tyr-Val-His-Pro-Phe-His-Leu-OH, H-Asn-Glu-Ala-Tyr-Val-His-Asp-Ala-Pro-Val-Arg-Ser-Leu-Asn-OH, H-Asn-Gln-Leu-Val-Val-Pro-Ser-Glu-Gly-Leu-Tyr-Leu-Ile-Tyr-Ser-Gln-Val-Leu-Phe-Lys-OH, and H-Asn-Pro-Asn-Ala-Asn-Pro-Asn-Ala-Asn-Pro-Asn-Ala-OH. A total of 33 expts. were performed. Peptides 3 and 4 were selected to highlight the effect of steric bulk of each Asn deriv. on coupling efficiency. Reagent efficiency, as measured by target peptide purity, was as follows: Fmoc-Asn(Tmob)-OH > Fmoc-Asn-OH > Fmoc-Asn(Mtt)-OH = Fmoc-Asn(Trt)-OH > Fmoc-Asn(Mbh)-OH.

IT 56602-33-6, BOP

RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling agents and asparagine protective groups
for multiple synthesis of peptides by the multipin method)

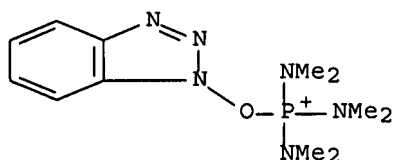
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

CMF C12 H22 N6 O P

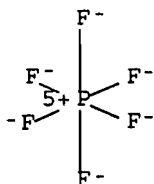


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



L10 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:631345 CAPLUS Full-text

DOCUMENT NUMBER: 121:231345

TITLE: Comparative studies of the coupling of N-methylated, sterically hindered amino acids during solid-phase

peptide synthesis

AUTHOR(S): Angell, Yvonne M.; Garcia-Echeverria, Carlos; Rich, Daniel H.

CORPORATE SOURCE: School Pharmacy Dep. Chemistry, Univ. Wisconsin-Madison, Madison, WI, 53706, USA

SOURCE: Tetrahedron Letters (1994), 35(33), 5981-4
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Comparison of different coupling reagents for effective coupling of N-methylated, sterically hindered amino acids under solid-phase peptide synthesis (SPPS) conditions is described. Superior results were obtained with the coupling additive 1-hydroxy-7-azabenzotriazole (HOAt), as well as its uronium salt deriv. (HATU), which both produced quant. couplings. Application of these reagents to the synthesis of the 2-7 sequence found in cyclosporin is reported.

IT 56602-33-6, BOP
RL: RCT (Reactant); RACT (Reactant or reagent)
(comparative studies of the coupling of N-methylated, sterically hindered amino acids during solid-phase peptide synthesis)

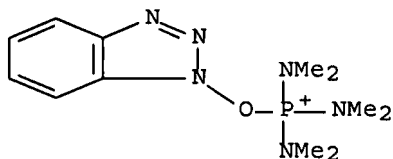
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

CMF C12 H22 N6 O P

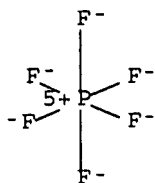


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



L10 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:558140 CAPLUS Full-text

DOCUMENT NUMBER: 121:158140

TITLE: A comparative study of BOP as a coupling agent using simultaneous multiple peptide synthesis

AUTHOR(S): Jezek, Jan; Houghten, Richard A.

CORPORATE SOURCE: Inst. Org. Chem. Biochem., Acad. Sci. Czech Republic, Prague, 166 10, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications (1994), 59(3), 691-706

CODEN: CCCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A parallel simultaneous synthesis of multiple peptides was carried out in which the coupling agents BOP and DIPCI were compared. For peptides lacking Asn, no differences in av. yield or RP HPLC purity were found. For peptides having Asn in their sequences, however, the yield and purity were significantly lower for BOP when compared to DIPCI. The addn. of HOBT to BOP mediated couplings did not lead to improved results. In fact, BOP condensations without HOBT gave, on av., slightly purer peptides. Comparing the results for peptides prepd. with BOP dissolved in DMF, NMP, or MMP + 20% DMSO, NMP afforded the best and DMF the worst results, but the differences were not significant. Peptides prepd. using BOP, combined with in situ neutralization, were obtained both in higher yield and purity when compared with classical neutralization. In all BOP mediated couplings, peptides with Asn were obtained in lower yield and purity than peptides without Asn. FAB MS and amino acid anal. revealed that the side products are peptides with deleted Asn.

IT 56602-33-6, BOP reagent

RL: RCT (Reactant); RACT (Reactant or reagent)
(as coupling agent for simultaneous multiple peptide synthesis)

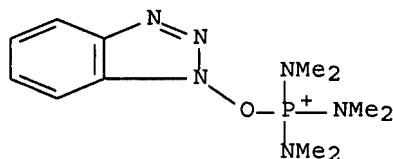
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

CMF C12 H22 N6 O P

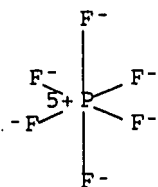


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:125055 CAPLUS Full-text

DOCUMENT NUMBER: 118:125055

TITLE: Rapid and convenient manual peptide synthesis using in situ activation by benzotriazolyloxytris(dimethylamino)phosphonium hexafluorophosphate (BOP) or its analogs

AUTHOR(S): Gausepohl, Heinrich; Schnoelzer, Martina; Frank, Rainer W.

CORPORATE SOURCE: ABIMED GmbH, Langenfeld, CA, 92037, USA

SOURCE: Innovation Perspect. Solid Phase Synth. Collect. Pap., Int. Symp., 2nd (1992), Meeting Date 1991, 387-9. Editor(s): Epton, Roger. Intercept: Andover, UK. CODEN: 58OLAK

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A report from a symposium. A procedure for fast, reliable and economic manual solid phase peptide synthesis is presented. The method employs 9-fluorenylmethoxycarbonyl (Fmoc) chem. and in situ activation by 1-benzotriazolyloxytris(dimethylamino)phosphonium hexafluorophosphate (BOP) or one of its analogs. All operations are performed with the resin contained in a polypropylene syringe with a sintered frit inside at the bottom and using DMF as solvent throughout the synthesis. The power of the manual synthesis procedure and the chem. used was demonstrated with the synthesis of a 19 residue peptide, which was synthesized and worked up in only 5 days. The method described provides an excellent means for peptide synthesis in labs. not equipped with an automated synthesizer.

IT 56602-33-6, BOP reagent

RL: RCT (Reactant); RACT (Reactant or reagent)
(agent, in manual solid-phase peptide coupling reactions)

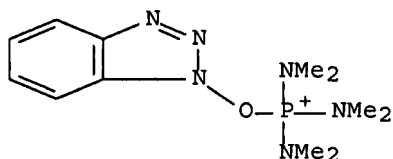
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

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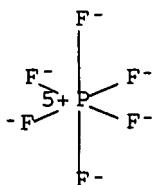


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:583876 CAPLUS Full-text

DOCUMENT NUMBER: 115:183876

TITLE: A comparative study of BOP as a coupling agent using simultaneous multiple peptide synthesis (SMPS)

AUTHOR(S): Jezek, J.; Houghten, R. A.

CORPORATE SOURCE: Torrey Pines Inst. Mol. Stud., San Diego, CA, 92121, USA

SOURCE: Pept. 1990, Proc. Eur. Pept. Symp., 21st (1991), Meeting Date 1990, 74-5. Editor(s): Giralt, Ernest; Andreu, David. ESCOM Sci. Publ.: Leiden, Neth. CODEN: 57HNAI

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A symposium report on a comparative study of BOP as a coupling agent in simultaneous multiple peptide synthesis. BOP was compared with DIC and the influence of 1-hydroxybenzotriazole and different solvents on BOP couplings was studied. The effects of classical vs. in situ neutralization on BOP couplings were compared.

IT 56602-33-6, BOP

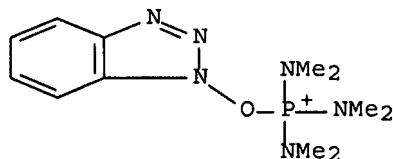
RL: PROC (Process)
(as coupling agent in simultaneous multiple peptide synthesis, comparative study of)

RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

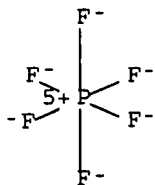
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CMF C12 H22 N6 O P



CM 2

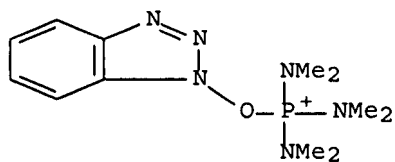
CRN 16919-18-9
CMF F6 P
CCI CCS



L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:164722 CAPLUS Full-text
DOCUMENT NUMBER: 114:164722
TITLE: Peptide coupling reagents. BOP and congeners
AUTHOR(S): Kiso, Yoshiaki; Kimura, Tooru
CORPORATE SOURCE: Dep. Med. Chem., Kyoto Pharm. Univ., Kyoto, 607, Japan
SOURCE: Yuki Gosei Kagaku Kyokaishi (1990), 48(11), 1032-3
CODEN: YGKKAE; ISSN: 0037-9980
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review with 9 refs. on benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate (BOP) as a versatile reagent for solid-phase peptide synthesis. Four analogous reagents, 2-(benzotriazol-1-yloxy)-1,3-dimethylimidazolidinium hexafluorophosphate, 2-(1H-benzotriazol-1-yloxy)-1,1,3,3-tetramethyluronium tetrafluoroborate, (benzotriazol-1-yloxy)tripyrrolidinophosphonium hexafluorophosphate, and bromotripyrrolidinophosphonium hexafluorophosphate, are also described.
IT 56602-33-6, BOP
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling agent, for solid-phase peptide synthesis)
RN 56602-33-6 CAPLUS
CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

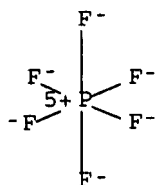
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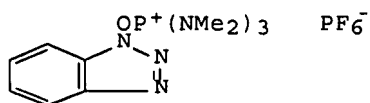


CM 2

CRN 16919-18-9
CMF F6 P
CCI CCS



L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:82514 CAPLUS Full-text
DOCUMENT NUMBER: 114:82514
TITLE: BOP and congeners: present status and new developments
AUTHOR(S): Coste, Jacques; Dufour, Marie Noelle; Nguyen, Dung Le; Castro, Bertrand
CORPORATE SOURCE: CNRS, Montpellier, F-34094, Fr.
SOURCE: Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 11th (1990), Meeting Date 1989, 885-8. Editor(s): Rivier, Jean E.; Marshall, Garland R. ESCOM Sci. Pub.: Leiden, Neth.
CODEN: 56XTA7
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



I

AB A symposium report on the use of BOP. (I) as a coupling agent in peptide synthesis.

IT 56602-33-6, BOP
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (as coupling agent in peptide synthesis)

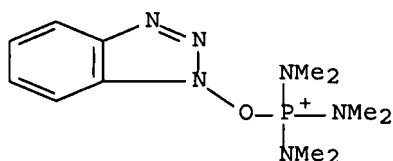
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

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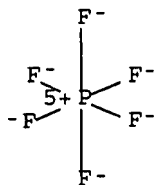


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:56629 CAPLUS Full-text

DOCUMENT NUMBER: 112:56629

TITLE: Applications of BOP reagent in solid-phase peptide synthesis. III. Solid-phase peptide synthesis with unprotected aliphatic and aromatic hydroxy amino acids using BOP reagent

AUTHOR(S): Fournier, Alain; Danho, Waleed; Felix, Arthur M.

CORPORATE SOURCE: Pep. Res. Dep., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SOURCE: International Journal of Peptide & Protein Research (1989), 33(2), 133-9

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 112:56629

AB The BOP reagent [benzotriazol-1-yl-oxy-tris-(dimethylamino)phosphonium hexafluorophosphate], which has been shown to be ideally suited for solid-phase synthesis, has now been found to be useful for solid-phase synthesis using a minimal side-chain protection scheme. This new application of the BOP reagent was exemplified by the successful synthesis of the CCK-7 analog, Ac-Tyr(SO₃H)-Met-Gly-Trp-Met-Thr(SO₃H)-Phe-NH₂, using unprotected tert-butoxycarbonyl (Boc) hydroxy amino acids Boc-Thr-OH and Boc-Tyr-OH. N-terminal acetylation was achieved under mild conditions by using the BOP coupling reaction with AcOH. This procedure provided the unprotected (Tyr₂₇, Thr₃₂)-peptide resin which is ready for the required sulfation on the solid support without selective side-chain deprotection of Tyr₂₇ and Thr₃₂. Solid-phase sulfation was evaluated under a variety of conditions and it was detd. that disulfation was optimal using pyridine acetyl sulfate (38 equiv.) in pyridine at 45 degree. for 4 h. Shorter reaction times or milder conditions lead to the formation of the Thr₃₂ monosulfated analog. Cleavage of the disulfated analog from the PAM-resin was achieved using liq. ammonia and the product was purified by preparative HPLC and fully characterized. The advantages of the new procedure are compared with the reported synthesis of CCK-7.

IT 56602-33-6

RL: RCT (Reactant); RACT (Reactant or reagent)
 (agent, for solid-phase peptide couplings)

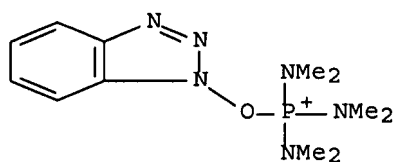
RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 56602-32-5

CMF C12 H22 N6 O P

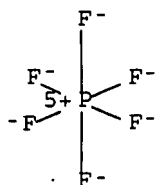


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:550020 CAPLUS Full-text

DOCUMENT NUMBER: 109:150020

TITLE: Applications of BOP reagent in solid phase synthesis.
Advantages of BOP reagent for difficult couplings
exemplified by a synthesis of [Ala15]-GRF(1-29)-NH2
AUTHOR(S): Fournier, Alain; Wang, Chingo Tso; Felix, Arthur M.
CORPORATE SOURCE: Roche Res. Cent., Hoffmann-La Roche Inc., Nutley, NJ,
07110, USA

SOURCE: International Journal of Peptide & Protein Research
(1988), 31(1), 86-97

CODEN: IJPPC3; ISSN: 0367-8377

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The BOP reagent [benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate] introduced by Castro et al. (1975) is ideally suited for solid phase peptide synthesis. The rate of coupling using BOP compared favorably to DCC and other methods of activation including the sym. anhydride and DCC/1-hydroxybenzotriazole procedures. BOP couplings using the solid phase procedure proceeded more rapidly and to a greater degree of completion for peptide bond formations that were previously detd. to be very slow using the conventional DCC method. Stepwise solid phase peptide synthesis using BOP was successfully utilized for the prepn. of the 22-29 and 13-29 fragments of [Ala15]-growth hormone-releasing factor (GRF)(1-29)-NH2. Single couplings with 3 equiv. BOP and tert-butoxycarbonyl (Boc) amino acids and 5.3 equiv. of (Me2CH)2NEt in DMF were used for each cycle. The yields of the fragments were superior and the purities comparable using the BOP procedure (single couplings) to those obsd. using multiple couplings via the DCC coupling method. A total synthesis of [Ala15]-GRF(1-29)-NH2 was also carried out using the BOP procedure. Multiple couplings were only required for Boc-Asn-OH due to the proposed formation of Boc-aminosuccinimide during activation. The resultant GRF(1-29) analog was comparable to a control prepd. with multiple DCC couplings under optimized conditions. In a parallel study, unprotected Boc-(hydroxy)-amino acids were unsuccessfully coupled with the BOP reagent. However, the no. of coupling cycles after the introduction of unprotected hydroxy-amino acid must be minimal (<10). The use of the BOP reagent with unprotected tyrosine in solid phase peptide synthesis was also clearly established.

IT 56602-33-6

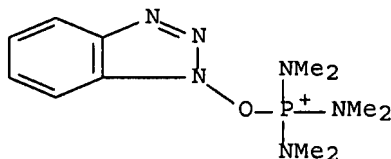
RL: RCT (Reactant); RACT (Reactant or reagent)
(reagent, for solid-phase peptide couplings)

RN 56602-33-6 CAPLUS

CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

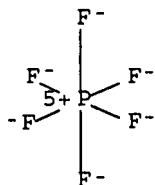
CM 1

CRN 56602-32-5
CMF C12 H22 N6 O P



CM 2

CRN 16919-18-9
CMF F6 P
CCI CCS



L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:79622 CAPLUS Full-text

DOCUMENT NUMBER: 102:79622

TITLE: Study of the functionalization of new acrylic polymers with ethylenediamine

AUTHOR(S): Baleux, Francoise; Clavelin, Veronique; Daunis, Jacques; Jacquier, Robert

CORPORATE SOURCE: Lab. Synth. Etud. Physicochim., USTL, Montpellier, 34060, Fr.

SOURCE: Makromolekulare Chemie (1984), 185(11), 2305-11
CODEN: MACEAK; ISSN: 0025-116X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB New crosslinked polyacrylic supports based on N-acryloylpyrrolidine, ethylenediacrylamide, and N-acryloyl-.beta.-alanine Me ester or Me acryloyl-.epsilon.-aminocaproate (methoxycarbonyl content 0.2-0.6 mmol/g) were prepd. The reaction with ethylenediamine was realized in two ways: action of neat ethylenediamine or use of coupling agents. The yield depended on the initial loading with methoxycarbonyl groups and on the length of the spacer. The percentage leading to the formation of side reactions of bridges, and that of unreactive methoxycarbonyl residues depends on steric factors. These results are of importance for the prepn. of supports adapted to the solid phase peptide synthesis.

IT 56602-33-6

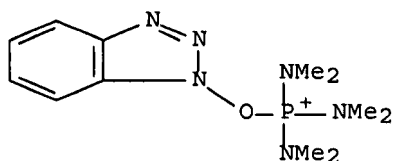
RL: USES (Uses)

(coupling agents, in reaction of ethylenediamine with carboxyl group-contg. crosslinked acrylic polymers)

RN 56602-33-6 CAPLUS
 CN Phosphorus(1+), (1-hydroxy-1H-benzotriazolato-O)tris(N-methylmethanaminato)-, (T-4)-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

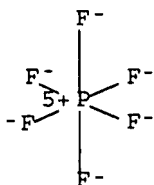
CM 1

CRN 56602-32-5
 CMF C12 H22 N6 O P



CM 2

CRN 16919-18-9
 CMF F6 P
 CCI CCS



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---Logging off of STN---

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Executing the logoff script...

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COST DISPLAY IS INCOMPLETE
 COST IN U.S. DOLLARS
 FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
74.25	437.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

CA SUBSCRIBER PRICE

-10.92

-11.70

STN INTERNATIONAL LOGOFF AT 14:50:23 ON 02 JUN 2007